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FILE LAST UPDATED: 23 Jan 2001 (20010123/ED)

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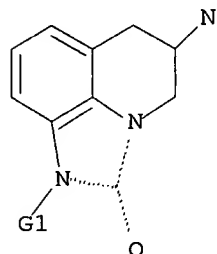
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=> d que

L1 STR



G1 O, S, CN

Structure attributes must be viewed using STN Express query preparation.

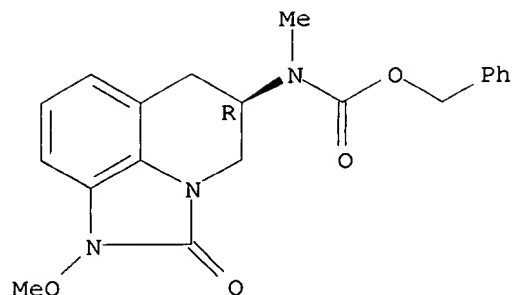
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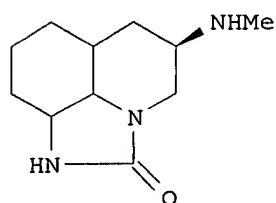
\ L4 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2001 ACS ✓
 ACCESSION NUMBER: 1999:233800 CAPLUS
 DOCUMENT NUMBER: 130:272022
 TITLE: Sustained-release tablet formulation to treat
 Parkinson disease
 INVENTOR(S): Ju, Tzu-chi Robert
 PATENT ASSIGNEE(S): Pharmacia & Upjohn Company, USA
 SOURCE: PCT Int. Appl., 17 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9916442	A2	19990408	WO 1998-US17992	19980903
WO 9916442	A3	19990617		
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
BR 9812687	A	20000822	BR 1998-12687	19980303
AU 9892964	A1	19990423	AU 1998-92964	19980903
EP 1017391	A2	20000712	EP 1998-945805	19980903
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
FI 2000000720	A	20000329	FI 2000-720	20000329
NO 2000001624	A	20000329	NO 2000-1624	20000329
PRIORITY APPLN. INFO.:				
			US 1997-60827	19970930
			WO 1998-US17992	19980903
AB	A sustained-release tablet which permits twice daily administration for the treatment of Parkinson's disease, comprises (R)-5,6-dihydro-5-(methylamino)-4H-imidazo[4,5-ij]-quinolin-2(1H)-one (Z)-2-butenedioate (1:1) 0.3-16 %, starch 60-69 %, and hydroxypropyl Me cellulose 30-40 %.			
IT	222415-94-3P			
	RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation) (prepn. of methylaminoimidazoquinolinone to treat Parkinson's disease)			
RN	222415-94-3 CAPLUS			
CN	Carbamic acid, methyl[(5R)-1,2,5,6-tetrahydro-1-methoxy-2-oxo-4H-imidazo[4,5,1-ij]quinolin-5-yl]-, phenylmethyl ester (9CI) (CA INDEX NAME)			

Absolute stereochemistry. Rotation (+).



L4 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2001 ACS
 ACCESSION NUMBER: 1997:603239 CAPLUS
 DOCUMENT NUMBER: 127:220605
 TITLE: Synthesis of the Selective D2 Receptor Agonist
 PNU-95666E from D-Phenylalanine Using a Sequential
 Oxidative Cyclization Strategy
 AUTHOR(S): Romero, Arthur G.; Darlington, William H.; McMillan,
 Moses W.
 CORPORATE SOURCE: Medicinal Chemistry Research and Chemical Research
 Preparations, Pharmacia Upjohn Inc., Kalamazoo, MI,
 49001, USA
 SOURCE: J. Org. Chem. (1997), 62(19), 6582-6587
 CODEN: JOCEAH; ISSN: 0022-3263
 PUBLISHER: American Chemical Society
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 GI

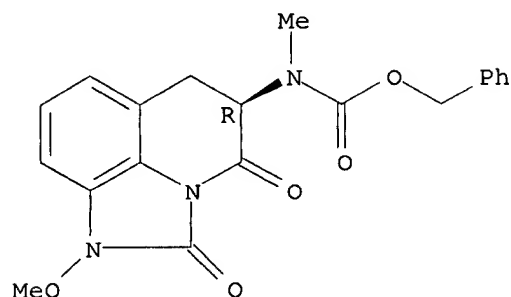


I

AB A synthesis of PNU-95666E (I) was developed, starting from
 D-phenylalanine. Crit. to the success of this synthesis were two
 oxidative nitrogen annulations to provide the tricyclic ring system. A
 highly efficient redn. with borane-dimethyl sulfide was used to reduce
 three different functional groups, a total of six hydrides transferred,
 with no concomitant racemization, contributing to the synthesis of I in
 eight steps with an overall yield of 26%. The utility of this synthetic
 route has been demonstrated by the completion this synthesis on the
 multikilogram scale.
 IT **194222-96-3P**
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of PNU-95666E)
 RN 194222-96-3 CAPLUS
 CN Carbamic acid, methyl(1,2,5,6-tetrahydro-1-methoxy-2,4-dioxo-4H-

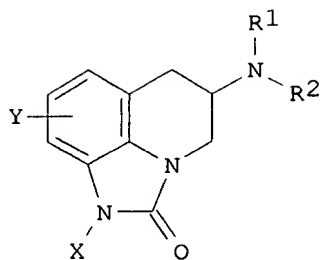
imidazo[4,5,1-ij]quinolin-5-yl)-, phenylmethyl ester, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



L4 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2001 ACS
 ACCESSION NUMBER: 1997:539253 CAPLUS
 DOCUMENT NUMBER: 127:190739
 TITLE: Preparation of 5,6-dihydro-4H-imidazo[4,5,1-ij]quinolin-2(1H)-ones having central nervous system activity
 INVENTOR(S): Romero, Arthur G.
 PATENT ASSIGNEE(S): Pharmacia & Upjohn Co., USA
 SOURCE: U.S., 10 pp. Cont.-in-part of U.S. Ser. No. 97,608, abandoned.
 CODEN: USXXAM
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5652245	A	19970729	US 1996-592328	19960123
WO 9504056	A1	19950209	WO 1994-US6648	19940617
W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, ES, FI, GB, GE, HU, JP, KE, KG, KP, KR, KZ, LK, LU, LV, MD, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SI, SK, TJ, TT, UA, US, UZ, VN				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
PRIORITY APPLN. INFO.:			US 1993-97608	19930727
			WO 1994-US6648	19940617
OTHER SOURCE(S):			MARPAT 127:190739	
GI				



AB The title compds. [I; R1, R2 = H, C1-6 alkyl; R1R2 = pyrrolidine, piperidine, morpholine, imidazole; X = MeO, R3SO2, CF3SO2, CN; R3 = C1-6 alkyl, (un)substituted C5-10 arom. ring; Y = H, Cl, Br, F, CN, etc.] and their salts, having anxiolytic, anti-depressant and central nervous system activity, and useful for treating schizophrenia, Parkinson's disease, anxiety, depression, migraine or for lowering blood pressure, were prepd. For example, 7-step synthesis of compd. I [R1 = H; R2 = nPr; X = MeO; Y = H] starting from (R)-1,2,3,4-tetrahydro-1-methoxy-2-oxo-3-quinolinamine is described. Treatment of above mentioned compd. I [R1 = H; R2 = nPr; X = MeO; Y = H] with 1-iodopropane in the presence of K2CO3 in MeCN afforded 90% I [R1 = R2 = nPr; X = MeO; Y = H] which showed Ki of 38 nM against 5-HT1DB receptor binding.

IT **166742-81-0P 166742-92-3P**

RL: BAC (Biological activity or effector, except adverse); RCT

(Reactant);

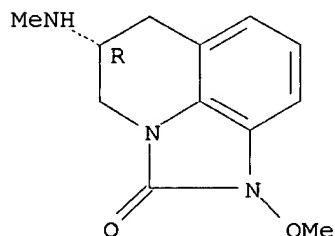
SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of 5,6-dihydro-4H-imidazo[4,5,1-ij]quinolin-2(1H)-ones having central nervous system activity)

RN 166742-81-0 CAPLUS

CN 4H-Imidazo[4,5,1-ij]quinolin-2(1H)-one, 5,6-dihydro-1-methoxy-5-(methylamino)-, (R)- (9CI) (CA INDEX NAME)

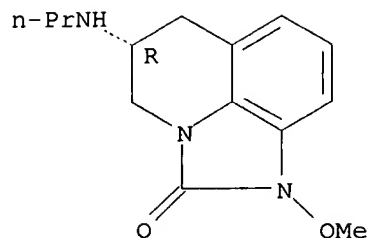
Absolute stereochemistry. Rotation (-).



RN 166742-92-3 CAPLUS

CN 4H-Imidazo[4,5,1-ij]quinolin-2(1H)-one, 5,6-dihydro-1-methoxy-5-(propylamino)-, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



IT 166742-82-1P 166742-83-2P 166742-84-3P
166742-93-4P 166742-94-5P 166742-95-6P

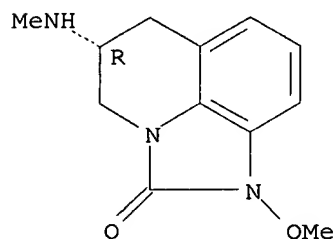
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of 5,6-dihydro-4H-imidazo[4,5,1-ij]quinolin-2(1H)-ones having central nervous system activity)

RN 166742-82-1 CAPLUS

CN 4H-Imidazo[4,5,1-ij]quinolin-2(1H)-one, 5,6-dihydro-1-methoxy-5-(methylamino)-, monohydrochloride, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

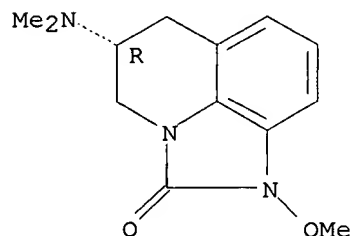


● HCl

RN 166742-83-2 CAPLUS

CN 4H-Imidazo[4,5,1-ij]quinolin-2(1H)-one, 5-(dimethylamino)-5,6-dihydro-1-methoxy-, (R)- (9CI) (CA INDEX NAME)

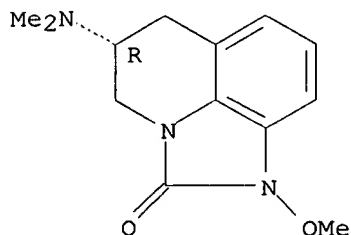
Absolute stereochemistry. Rotation (-).



RN 166742-84-3 CAPLUS

CN 4H-Imidazo[4,5,1-ij]quinolin-2(1H)-one, 5-(dimethylamino)-5,6-dihydro-1-methoxy-, monohydrochloride, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

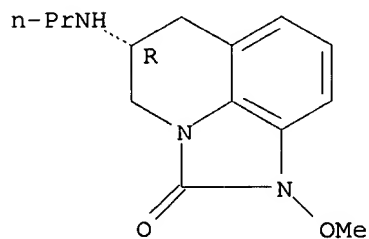


● HCl

RN 166742-93-4 CAPLUS

CN 4H-Imidazo[4,5,1-ij]quinolin-2(1H)-one, 5,6-dihydro-1-methoxy-5-(propylamino)-, monohydrochloride, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

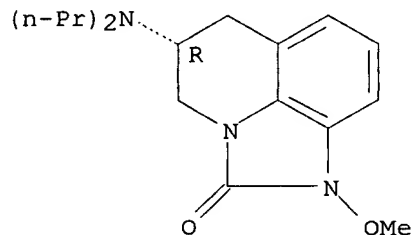


● HCl

RN 166742-94-5 CAPLUS

CN 4H-Imidazo[4,5,1-ij]quinolin-2(1H)-one, 5-(dipropylamino)-5,6-dihydro-1-methoxy-, (R)- (9CI) (CA INDEX NAME)

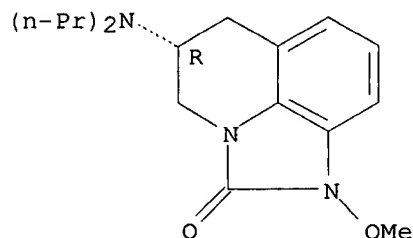
Absolute stereochemistry. Rotation (-).



RN 166742-95-6 CAPLUS

CN 4H-Imidazo[4,5,1-ij]quinolin-2(1H)-one, 5-(dipropylamino)-5,6-dihydro-1-methoxy-, monohydrochloride, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



● HCl

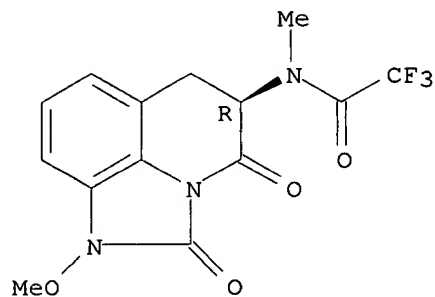
IT 194222-72-5P 194222-88-3P 194222-96-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. of 5,6-dihydro-4H-imidazo[4,5,1-ij]quinolin-2(1H)-ones having
central nervous system activity)

RN 194222-72-5 CAPLUS

CN Acetamide, 2,2,2-trifluoro-N-methyl-N-(1,2,5,6-tetrahydro-1-methoxy-2,4-dioxo-4H-imidazo[4,5,1-ij]quinolin-5-yl)-, (R)- (9CI) (CA INDEX NAME)

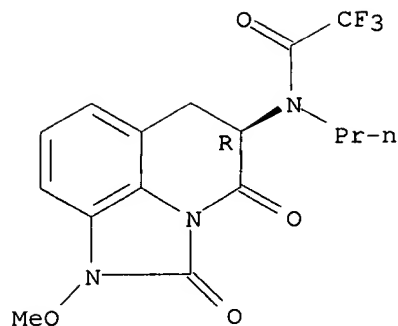
Absolute stereochemistry. Rotation (+).



RN 194222-88-3 CAPLUS

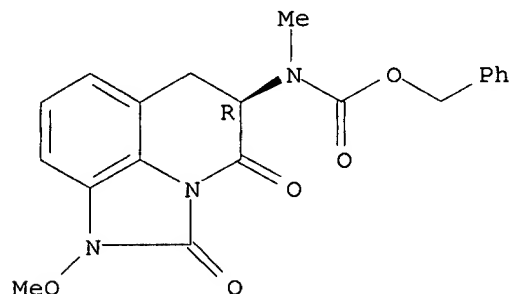
CN Acetamide, 2,2,2-trifluoro-N-propyl-N-(1,2,5,6-tetrahydro-1-methoxy-2,4-dioxo-4H-imidazo[4,5,1-ij]quinolin-5-yl)-, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

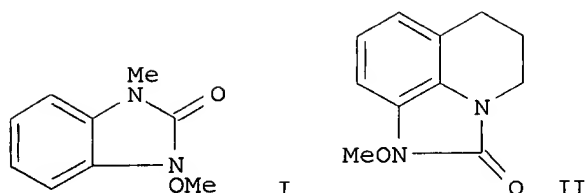


RN 194222-96-3 CAPLUS
 CN Carbamic acid, methyl(1,2,5,6-tetrahydro-1-methoxy-2,4-dioxo-4H-imidazo[4,5,1-ij]quinolin-5-yl)-, phenylmethyl ester, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



L4 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2001 ACS ✓
 ACCESSION NUMBER: 1996:213416 CAPLUS
 DOCUMENT NUMBER: 124:343186
 TITLE: Oxidative cyclization of acyclic ureas with
 bis(trifluoroacetoxy)iodobenzene to generate
 N-substituted 2-benzimidazolinones
 AUTHOR(S): Romero, Arthur G.; Darlington, William H.; Jacobsen,
 E. Jon; Mickelson, John W.
 CORPORATE SOURCE: Med. Chem. Res., Pharmacia, Upjohn, Inc., Kalamazoo,
 MI, 49001, USA
 SOURCE: Tetrahedron Lett. (1996), 37(14), 2361-4
 CODEN: TELEAY; ISSN: 0040-4039
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 OTHER SOURCE(S): CASREACT 124:343186
 GI



AB A facile method to synthesize N-substituted 2-benzimidazolinones from secondary arom. amines is presented. Sequential treatment of a secondary arom. amine with phosgene and methoxylamine afforded an acyclic 3-substituted 3-aryl-1-methoxyurea. Brief exposure of this urea to bis(trifluoroacetoxy)iodobenzene induced an oxidative cyclization. to the ortho-position of the benzene ring, resulting in the formation of a 3-substituted 1-methoxy-2-benzimidazolinone, e.g. I and II.

Hydrogenation

over Pd/C cleaves the methoxy group to afford the N-substituted 2-benzimidazolinone.

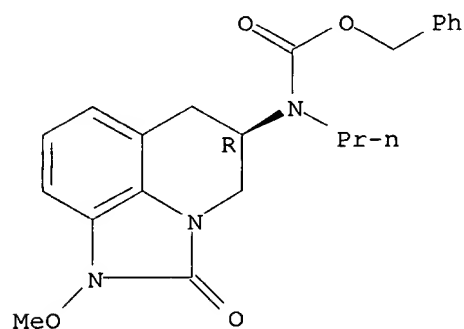
IT 176790-85-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation) (oxidative cyclization of acyclic ureas with bis(trifluoroacetoxy)iodobenzene to generate N-substituted 2-benzimidazolinones)

RN 176790-85-5 CAPLUS

CN Carbamic acid, propyl (1,2,5,6-tetrahydro-1-methoxy-2-oxo-4H-imidazo[4,5,1-ij]quinolin-5-yl)-, phenylmethyl ester, (R)- (9CI) (CA INDEX NAME)

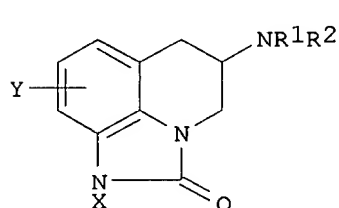
Absolute stereochemistry.



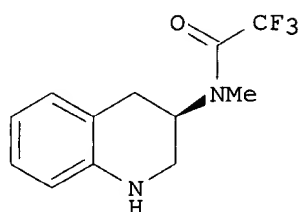
L4 ANSWER 5 OF 5 CAPLUS COPYRIGHT 2001 ACS ✓
 ACCESSION NUMBER: 1995:750538 CAPLUS
 DOCUMENT NUMBER: 123:143891
 TITLE: Heterocyclic amines having central nervous system activity
 INVENTOR(S): Romero, Arthur G.
 PATENT ASSIGNEE(S): Upjohn Co., USA
 SOURCE: PCT Int. Appl., 24 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent

LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

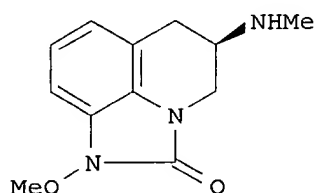
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2166700	AA	19950209	CA 1994-2166700	19940617
AU 9472461	A1	19950228	AU 1994-72461	19940617
AU 684808	B2	19980108		
EP 724584	A1	19960807	EP 1994-921952	19940617
EP 724584	B1	19971105		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
JP 09500898	T2	19970128	JP 1994-505808	19940617
AT 159943	E	19971115	AT 1994-921952	19940617
ES 2108474	T3	19971216	ES 1994-921952	19940617
CN 1128030	A	19960731	CN 1994-192910	19940627
CN 1043574	B	19990609		
US 5652245	A	19970729	US 1996-592328	19960123
PRIORITY APPLN. INFO.:			US 1993-97608	19930727
			WO 1994-US6648	19940617
OTHER SOURCE(S):			MARPAT 123:143891	
GI				



I



II



III

AB Tricyclic N-contg. compds. I, having CNS (anxiolytic and anti-depressant) activity, and pharmaceutical salts thereof, are claimed [wherein R₁, R₂ = independently H, C₁-6 alkyl; or R₁R₂ = atoms to form pyrrolidine, piperidine, morpholine or imidazole; X = OMe, SO₂R₃, SO₂CF₃ or CN; R₃ = C₁-6 alkyl or aryl; Y = H, Cl, Br, F, CN, CONR₁R₂, CF₃, OMe, SO₂NR₁R₂].

I

are said to be suitable for treating schizophrenia, Parkinson's disease, anxiety, depression, high blood pressure, or migraine. Four compds. and their HCl salts were prepd. For example,

(R)-1,2,3,4-tetrahydro-1-methoxy-

2-oxo-3-quinolinamine underwent a sequence of N-acylation of the pendant amino group with ClCO₂Me (99%), borane redn. of the oxo group and the carbamate (100%), double N-acylation (ring and methylamino nitrogens)

with

trifluoroacetic anhydride (67%), and selective hydrolysis of the ring trifluoroacetyl group (100%), to give the intermediate II. Treatment of II with phosgene and then methoxylamine-HCl in the presence of Et₃N gave 75% 1-methoxyaminocarbonyl deriv., which was cyclized by treatment with PhI(OCOCF₃) (72%) and deprotected with K₂CO₃ in MeOH (100%) to give title compd. III. In an isolation-induced aggression assay in mice, III (oral, dose unspecified) increased latency to attack from 66 s (control) to 600 s. Addnl. 5-HT receptor data for another I showed selectivity for

5-HT₁DB

receptors, with activity residing in the (R)-isomer.

IT 166743-02-8

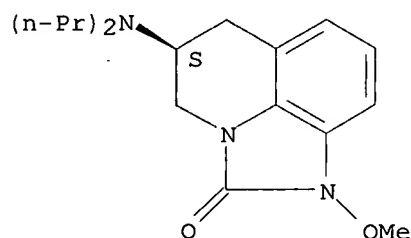
RL: BAC (Biological activity or effector, except adverse); BIOL (Biological study)

(bioactivity; prepn. of aminoimidazoquinolinones with 5-HT₁ activity)

RN 166743-02-8 CAPLUS

CN 4H-Imidazo[4,5,1-ij]quinolin-2(1H)-one, 5-(dipropylamino)-5,6-dihydro-1-methoxy-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



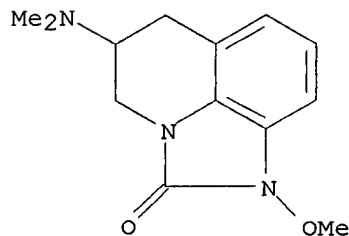
IT 166941-54-4 166941-55-5

RL: BAC (Biological activity or effector, except adverse); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

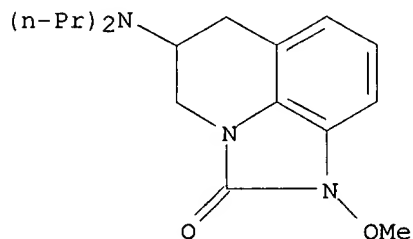
(bioactivity; prepn. of aminoimidazoquinolinones with 5-HT₁ activity)

RN 166941-54-4 CAPLUS

CN 4H-Imidazo[4,5,1-ij]quinolin-2(1H)-one, 5-(dimethylamino)-5,6-dihydro-1-methoxy- (9CI) (CA INDEX NAME)

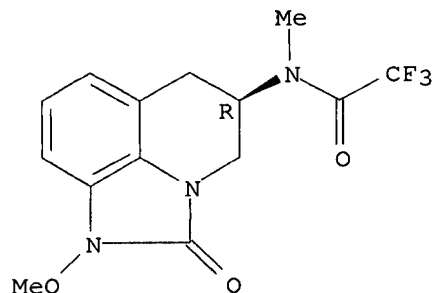


RN 166941-55-5 CAPLUS
 CN 4H-Imidazo[4,5,1-ij]quinolin-2(1H)-one, 5-(dipropylamino)-5,6-dihydro-1-methoxy- (9CI) (CA INDEX NAME)



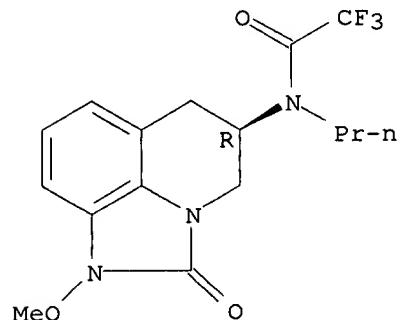
IT 166742-80-9P 166742-91-2P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
 (intermediate; prepn. of aminoimidazoquinolinones with 5-HT1 activity)
 RN 166742-80-9 CAPLUS
 CN Acetamide,
 2,2,2-trifluoro-N-methyl-N-(1,2,5,6-tetrahydro-1-methoxy-2-oxo-
 4H-imidazo[4,5,1-ij]quinolin-5-yl)-, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 166742-91-2 CAPLUS
 CN Acetamide,
 2,2,2-trifluoro-N-propyl-N-(1,2,5,6-tetrahydro-1-methoxy-2-oxo-
 4H-imidazo[4,5,1-ij]quinolin-5-yl)-, (R)- (9CI) (CA INDEX NAME)

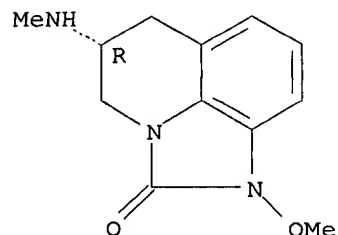
Absolute stereochemistry.



IT 166742-81-0P 166742-92-3P

RL: BAC (Biological activity or effector, except adverse); RCT
(Reactant);
SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological
study); PREP (Preparation); USES (Uses)
(prepn. of aminoimidazoquinolinones with 5-HT1 activity)
RN 166742-81-0 CAPLUS
CN 4H-Imidazo[4,5,1-ij]quinolin-2(1H)-one, 5,6-dihydro-1-methoxy-5-
(methylamino)-, (R)- (9CI) (CA INDEX NAME)

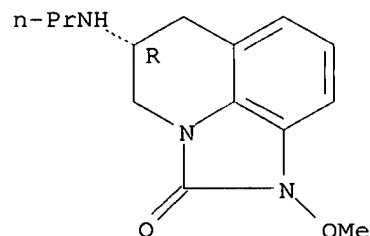
Absolute stereochemistry. Rotation (-).



RN 166742-92-3 CAPLUS

CN 4H-Imidazo[4,5,1-ij]quinolin-2(1H)-one, 5,6-dihydro-1-methoxy-5-
(propylamino)-, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

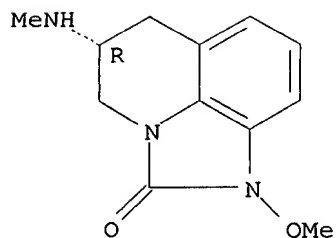


IT 166742-82-1P 166742-83-2P 166742-84-3P

166742-93-4P 166742-94-5P 166742-95-6P

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic
preparation); THU (Therapeutic use); BIOL (Biological study); PREP
(Preparation); USES (Uses)
(prepn. of aminoimidazoquinolinones with 5-HT1 activity)
RN 166742-82-1 CAPLUS
CN 4H-Imidazo[4,5,1-ij]quinolin-2(1H)-one, 5,6-dihydro-1-methoxy-5-
(methylamino)-, monohydrochloride, (R)- (9CI) (CA INDEX NAME)

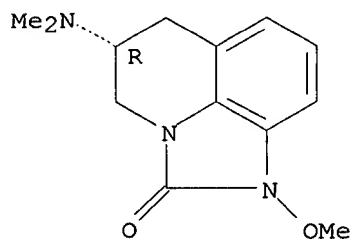
Absolute stereochemistry. Rotation (-).



● HCl

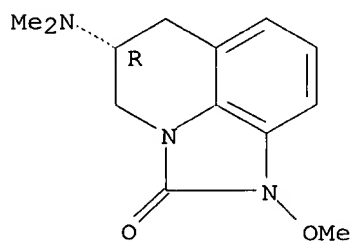
RN 166742-83-2 CAPLUS
 CN 4H-Imidazo[4,5,1-ij]quinolin-2(1H)-one, 5-(dimethylamino)-5,6-dihydro-1-methoxy-, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RN 166742-84-3 CAPLUS
 CN 4H-Imidazo[4,5,1-ij]quinolin-2(1H)-one, 5-(dimethylamino)-5,6-dihydro-1-methoxy-, monohydrochloride, (R)- (9CI) (CA INDEX NAME)

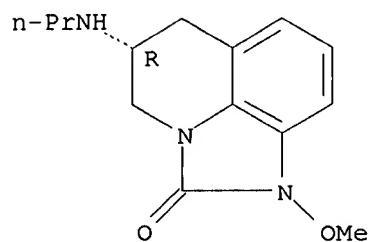
Absolute stereochemistry. Rotation (-).



● HCl

RN 166742-93-4 CAPLUS
 CN 4H-Imidazo[4,5,1-ij]quinolin-2(1H)-one, 5,6-dihydro-1-methoxy-5-(propylamino)-, monohydrochloride, (R)- (9CI) (CA INDEX NAME)

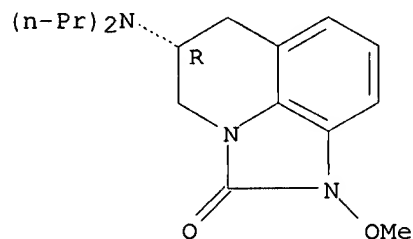
Absolute stereochemistry. Rotation (-).



● HCl

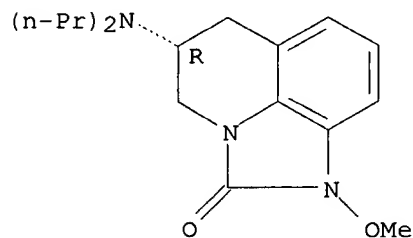
RN 166742-94-5 CAPLUS
 CN 4H-Imidazo[4,5,1-ij]quinolin-2(1H)-one, 5-(dipropylamino)-5,6-dihydro-1-methoxy-, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RN 166742-95-6 CAPLUS
 CN 4H-Imidazo[4,5,1-ij]quinolin-2(1H)-one, 5-(dipropylamino)-5,6-dihydro-1-methoxy-, monohydrochloride, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



● HCl

=> file uspatfull

FILE 'USPATFULL' ENTERED AT 12:08:01 ON 24 JAN 2001
CA INDEXING COPYRIGHT (C) 2001 AMERICAN CHEMICAL SOCIETY (ACS)

FILE COVERS 1971 TO PATENT PUBLICATION DATE: 23 Jan 2001 (20010123/PD)
FILE LAST UPDATED: 23 Jan 2001 (20010123/ED)
HIGHEST PATENT NUMBER: US6178551
CA INDEXING IS CURRENT THROUGH 23 Jan 2001 (20010123/UPCA)
ISSUE CLASS FIELDS (/INCL) CURRENT THROUGH: 23 Jan 2001 (20010123/PD)
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Sep 2000
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Sep 2000

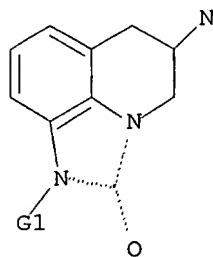
>>> Page images are available for patents from 1/1/1997. Current <<<
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>>> Complete CA file indexing for chemical patents (or equivalents) <<<
>>> is included in file records. A thesaurus is available for the <<<
>>> USPTO Manual of Classifications in the /NCL, /INCL, and /RPCL <<<
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>>> available for the WIPO International Patent Classification <<<
>>> (IPC) Manuals, editions 1-6, in the /IC1, /IC2, /IC3, /IC4, <<<
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This file contains CAS Registry Numbers for easy and accurate
substance identification.

=> d que

L1 STR



G1 O, S, CN

Structure attributes must be viewed using STN Express query preparation.

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L5 1 SEA FILE=USPATFULL L3

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L5 ANSWER 1 OF 1 USPATFULL

ACCESSION NUMBER: 97:66132 USPATFULL
TITLE: Heterocyclic amines having central nervous system activity
INVENTOR(S): Romero, Arthur G., Kalamazoo, MI, United States
PATENT ASSIGNEE(S): Pharmacia & Upjohn Company, Kalamazoo, MI, United States (U.S. corporation)

	NUMBER	DATE
PATENT INFORMATION:	US 5652245	19970729
	WO 9504056	19950209
APPLICATION INFO.:	US 1996-592328	19960123 (8)
	WO 1994-US6648	19940617
		19960123 PCT 371 date
		19960123 PCT 102(e) date
RELATED APPLN. INFO.:	Continuation-in-part of Ser. No. US 1993-97608, filed on 27 Jul 1993, now abandoned	
DOCUMENT TYPE:	Utility	
PRIMARY EXAMINER:	Morris, Patricia L.	
LEGAL REPRESENTATIVE:	Corneglio, Donald L.	
NUMBER OF CLAIMS:	8	
EXEMPLARY CLAIM:	1	
LINE COUNT:	832	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Tricyclic nitrogen containing compounds, having anxiolytic and anti-depressant activity and central nervous system activity of the following structural formula: ##STR1## and pharmaceutically acceptable salts thereof wherein R.sub.1 and R.sub.2 are independently hydrogen, C.sub.1-6 alkyl or R.sub.1 and R.sub.2 are joined to form pyrrolidine, piperidine, morpholine or imidazole. X is OCH.sub.3, SO.sub.2 R.sub.3, SO.sub.2 CF.sub.3 or CN where R.sub.3 is C.sub.1-6 alkyl or an Aryl;

and

Y is hydrogen, Cl, Br, F, CN, CONR.sub.1 R.sub.2, CF.sub.3, OCH.sub.3, SO.sub.2 NR.sub.1 R.sub.2. These new compounds are suitable for

treating

anxiolytic disorder, schizophrenia, Parkinson's disease, anxiety, depression or as compounds for lowering blood pressure or treating migraine headaches in patients in need of such treatment.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

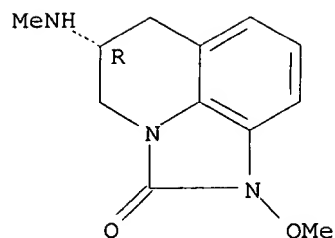
IT 166742-81-0P 166742-92-3P

(prepn. of 5,6-dihydro-4H-imidazo[4,5,1-ij]quinolin-2(1H)-ones having central nervous system activity)

RN 166742-81-0 USPATFULL

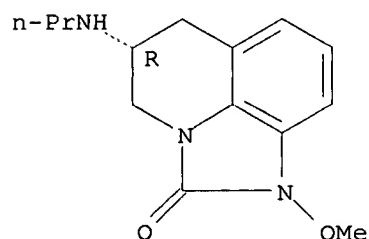
CN 4H-Imidazo[4,5,1-ij]quinolin-2(1H)-one, 5,6-dihydro-1-methoxy-5-(methylamino)-, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RN 166742-92-3 USPATFULL
 CN 4H-Imidazo[4,5,1-ij]quinolin-2(1H)-one, 5,6-dihydro-1-methoxy-5-(propylamino)-, (R)- (9CI) (CA INDEX NAME)

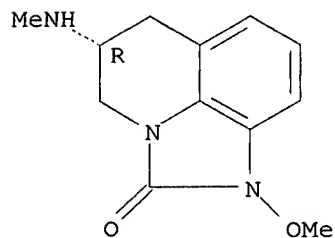
Absolute stereochemistry. Rotation (-).



IT 166742-82-1P 166742-83-2P 166742-84-3P
 166742-93-4P 166742-94-5P 166742-95-6P
 (prepn. of 5,6-dihydro-4H-imidazo[4,5,1-ij]quinolin-2(1H)-ones having central nervous system activity)

RN 166742-82-1 USPATFULL
 CN 4H-Imidazo[4,5,1-ij]quinolin-2(1H)-one, 5,6-dihydro-1-methoxy-5-(methylamino)-, monohydrochloride, (R)- (9CI) (CA INDEX NAME)

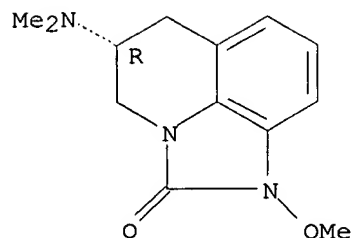
Absolute stereochemistry. Rotation (-).



● HCl

RN 166742-83-2 USPATFULL
 CN 4H-Imidazo[4,5,1-ij]quinolin-2(1H)-one, 5-(dimethylamino)-5,6-dihydro-1-methoxy-, (R)- (9CI) (CA INDEX NAME)

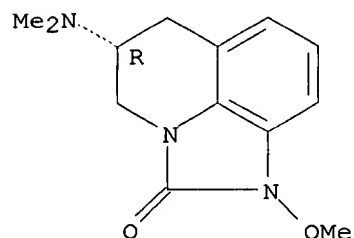
Absolute stereochemistry. Rotation (-).



RN 166742-84-3 USPATFULL

CN 4H-Imidazo[4,5,1-ij]quinolin-2(1H)-one, 5-(dimethylamino)-5,6-dihydro-1-methoxy-, monohydrochloride, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

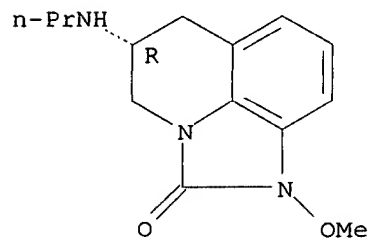


● HCl

RN 166742-93-4 USPATFULL

CN 4H-Imidazo[4,5,1-ij]quinolin-2(1H)-one, 5,6-dihydro-1-methoxy-5-(propylamino)-, monohydrochloride, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

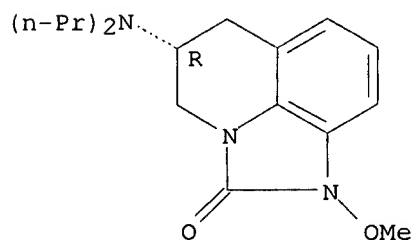


● HCl

RN 166742-94-5 USPATFULL

CN 4H-Imidazo[4,5,1-ij]quinolin-2(1H)-one, 5-(dipropylamino)-5,6-dihydro-1-methoxy-, (R)- (9CI) (CA INDEX NAME)

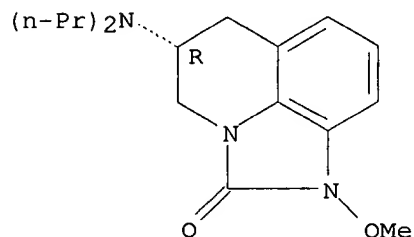
Absolute stereochemistry. Rotation (-).



RN 166742-95-6 USPATFULL

CN 4H-Imidazo[4,5,1-ij]quinolin-2(1H)-one, 5-(dipropylamino)-5,6-dihydro-1-methoxy-, monohydrochloride, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



● HCl

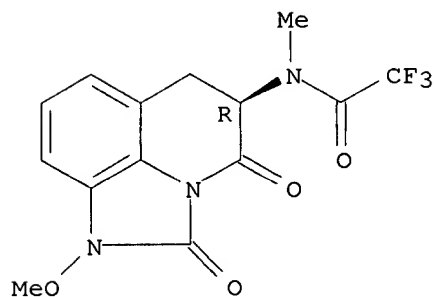
IT 194222-72-5P 194222-88-3P 194222-96-3P

(prepn. of 5,6-dihydro-4H-imidazo[4,5,1-ij]quinolin-2(1H)-ones having central nervous system activity)

RN 194222-72-5 USPATFULL

CN Acetamide, 2,2,2-trifluoro-N-methyl-N-(1,2,5,6-tetrahydro-1-methoxy-2,4-dioxo-4H-imidazo[4,5,1-ij]quinolin-5-yl)-, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

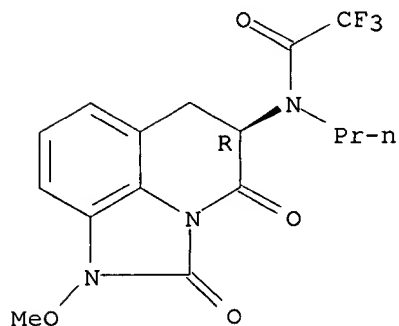


RN 194222-88-3 USPATFULL

CN Acetamide, 2,2,2-trifluoro-N-propyl-N-(1,2,5,6-tetrahydro-1-methoxy-2,4-

dioxo-4H-imidazo[4,5,1-ij]quinolin-5-yl)-, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 194222-96-3 USPATFULL

CN Carbamic acid, methyl(1,2,5,6-tetrahydro-1-methoxy-2,4-dioxo-4H-imidazo[4,5,1-ij]quinolin-5-yl)-, phenylmethyl ester, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

